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     2
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                 CHEMLIST enhanced with new search and display field
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         NOV 10
                 CA/CAplus F-Term thesaurus enhanced
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                 CA/CAplus to MARPAT accession number crossover limit increased
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                 to 50,000
         DEC 01
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     9
NEWS 10
         DEC 11
                 CAS REGISTRY chemical nomenclature enhanced
NEWS 11
         DEC 14
                 WPIDS/WPINDEX/WPIX manual codes updated
         DEC 14
                 GBFULL and FRFULL enhanced with IPC 8 features and
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                 functionality
                 CA/CAplus pre-1967 chemical substance index entries enhanced
NEWS 13
         DEC 18
                 with preparation role
                 CA/CAplus patent kind codes updated
NEWS 14
         DEC 18
         DEC 18
                 MARPAT to CA/Caplus accession number crossover limit increased
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                 to 50,000
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         DEC 18
                 MEDLINE updated in preparation for 2007 reload
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                 CA/CAplus enhanced with more pre-1907 records
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         JAN 08
                 CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 19
         JAN 16
                 CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS 20
         JAN 16
                 IPC version 2007.01 thesaurus available on STN
         JAN 16
                 WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 21
         JAN 22
                 CA/CAplus updated with revised CAS roles
NEWS 22
         JAN 22
                 CA/CAplus enhanced with patent applications from India
NEWS 23
NEWS 24
         JAN 29
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                 multiple databases
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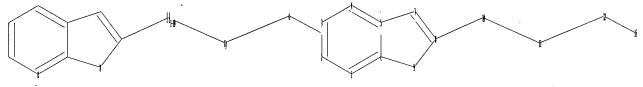
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chain nodes : 10 11 12 ring nodes : 1 2 3 4 5 6 7 8 9 ring/chain nodes : 1.6 chain bonds : 8-10 10-11 11-12 12-16 ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9

exact/norm bonds :

6-9 8-9 10-11 11-12 12-16

exact bonds : 5-7 7-8 8-10 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 isolated ring systems : containing 1 :

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:Atom 12:CLASS 16:CLASS

## L1 STRUCTURE UPLOADED

=> d lo1

L1 HAS NO ANSWERS

'LO1 ' IS NOT A VALID STRUCTURE FORMAT KEYWORD

Structure Formats

SIA ---- Structure Image, Attributes, and map table if it contains

data. (Default)

SIM ---- Structure IMage. SAT ---- Structure ATtributes and map table if it contains data.

SCT ---- Structure Connection Table and map table if it contains

data.

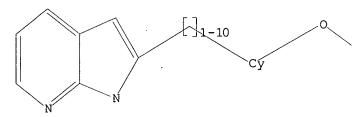
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L1 STR



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=> s 11

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SAMPLE SCREEN SEARCH COMPLETED - 934 TO ITERATE

100.0% PROCESSED 934 ITERATIONS 6 ANSWERS

20513

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

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PROJECTED ITERATIONS: 16847 TO

PROJECTED ANSWERS: 6 TO 266

L2 6 SEA SSS SAM L1

=> s 11 full

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FULL SCREEN SEARCH COMPLETED - 19549 TO ITERATE

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SEARCH TIME: 00.00.01

L3 100 SEA SSS FUL L1

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L4 7 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:857600 CAPLUS

DOCUMENT NUMBER:

141:332183

TITLE:

Preparation of azaindole derivatives

(pyrrolopyridines), preparations thereof, uses thereof

and compositions containing them

INVENTOR(S):

Wei, Zhongyong; Dolaine, Regis; Walpole, Christopher;

Yang, Hua

PATENT ASSIGNEE(S):

Astrazeneca Ab, Swed.

SOURCE:

PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

1

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

					KIND		DATE		APPLICATION NO.					DATE			
WO 2004087704					A1	A1 20041014			WO 2004-SE472						20040326		
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	.GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪG,	ZM,	ZW,	AM,	AZ,
		BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,
		ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	ΙT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,
		SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,
		TD,	TG														
EΡ	EP 1615922				A1	20060118				EP 2004-723882					20040326		
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
					LV,												
JP 2006522112				T		20060928			JP 2006-507989					20040326			

US 2007027179 PRIORITY APPLN. INFO.: Α1 20070201 US 2005-550663 SE 2003-908 WO 2004-SE472

20050926 20030331 Α 20040326

W

OTHER SOURCE(S):

MARPAT 141:332183

GT

$$R3$$
 $R?$ 
 $N$ 
 $X-Ar-OR^2$ 
 $R^2$ 
 $N$ 
 $N$ 
 $R^2$ 
 $N$ 
 $N$ 
 $N$ 
 $N$ 

Compds. of formula I [R1 = cycloalkylmethyl or tetrahydropyranylmethyl; X AB = divalent group that separates groups connected thereto by one or two saturated carbons; Ar = divalent aromatic group; R2 = (un)substituted-alkyl, -aryl or heteroaryl; R3 = carbon group connected to the six membered ring via a N atom or carbonyl group; Ra and Rb = R, halo, NO2, OR, CO2H, etc., wherein R = H or alkyl], as well as their pharmaceutically acceptable salts, and pharmaceutical compns. including the compds. are prepared Thus, e.g., II was prepared by substitution of 2-chloro-3-methyl-5-nitropyridine with cyclohexylmethylamine followed by nitro group reduction, amidation with trimethylacetyl chloride, and cyclocondensation with Me 4-ethoxybenzeneacetic acid ester. I possessed Ki values of 29-5852 nM in assays with human CB1 receptors. They are useful in therapy, in particular in the management of pain. IΤ

773147-25-4P 773147-54-9P 773147-78-7P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (drug candidate; preparation of pyrrolopyridines with analgesic activity)

773147-25-4 CAPLUS RN

CN

Carbamic acid, [1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1Hpyrrolo[2,3-b]pyridin-5-yl]-, methyl ester (9CI) (CA INDEX NAME)

RN 773147-54-9 CAPLUS

CN Propanamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-78-7 CAPLUS

CN Carbamic acid, [1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-, 1-methylethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-42-5 CMF C26 H34 N4 O3

$$\begin{array}{c|c} O & & \\ i\text{-PrO-C-NH} & & \\ \hline & N & CH_2 & N \\ \hline & \end{array}$$
 OEt

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrrolopyridines with analgesic activity) 773147-21-0 CAPLUS

Urea, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl-N'-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 773147-22-1 CAPLUS

RN

CN

CN Butanamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,3-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-23-2 CAPLUS

CN 3-Isoxazolecarboxamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,5-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-24-3 CAPLUS

CN Propanamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-26-5 CAPLUS

CN Benzenesulfonamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,6-difluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 773147-28-7 CAPLUS

CN Butanamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,3-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-29-8 CAPLUS

CN Urea, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl-N'-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 773147-30-1 CAPLUS

CN 1H-Imidazole-5-sulfonamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,1-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-31-2 CAPLUS

CN 3-Isoxazolecarboxamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,5-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-32-3 CAPLUS

CN Benzamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-4-(dimethylamino)-N-methyl- (9CI) (CA INDEX NAME)

RN 773147-33-4 CAPLUS

CN Benzoic acid, 4-[[[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]methylamino]sulfonyl]- (9CI) (CA INDEX NAME)

RN · 773147-34-5 CAPLUS

CN Benzenesulfonamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl-2-nitro- (9CI) (CA INDEX NAME)

RN 773147-35-6 CAPLUS

CN Benzenesulfonamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,6-difluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 773147-36-7 CAPLUS

CN Cyclobutanecarboxamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl- (9CI) (CA INDEX NAME)

RN 773147-37-8 CAPLUS

CN Benzamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,5-difluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 773147-38-9 CAPLUS

CN Propanamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,2-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & Me \\ \hline \\ i-Pr-C-N \\ \hline \\ N & CH_2 \\ \hline \\ O & \\ \end{array}$$

RN 773147-39-0 CAPLUS

CN Propanamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,2,2-trimethyl- (9CI) (CA INDEX NAME)

RN 773147-40-3 CAPLUS

CN Urea, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl-N'-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 773147-41-4 CAPLUS

CN Propanamide, N-[1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-42-5 CAPLUS

CN Carbamic acid, [1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ i\text{-PrO-C-NH} & \\ \hline N & CH_2 & N \\ \end{array}$$
 OEt

RN 773147-43-6 CAPLUS

CN Urea, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N',N'-diethyl-N-methyl- (9CI) (CA INDEX NAME)

RN

RN 773147-45-8 CAPLUS

CN Butanamide, N-[1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,3-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-46-9 CAPLUS

CN Benzenesulfonamide, N-[1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl- (9CI) (CA INDEX NAME)

RN 773147-47-0 CAPLUS

CN Carbamic acid, [1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]methyl-, 1-methylethyl ester (9CI) (CA INDEX NAME)

RN 773147-48-1 CAPLUS

CN Urea, N-[1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl-N'-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 773147-49-2 CAPLUS

CN Propanamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,2,2-trimethyl- (9CI) (CA INDEX NAME)

RN 773147-50-5 CAPLUS

CN Propanamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,2-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-51-6 CAPLUS

CN Cyclopropanecarboxamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl- (9CI) (CA INDEX NAME)

RN 773147-52-7 CAPLUS

CN Benzamide, N-[1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2-fluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 773147-53-8 CAPLUS .

CN Propanamide, N-[1-(cyclohexylmethyl)-2-[(3-methoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-55-0 CAPLUS

CN Benzamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2-fluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 773147-56-1 CAPLUS

CN Butanamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,3-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & Me \\ \parallel & \parallel \\ i-Bu-C-N \\ \hline & N-CH_2 \\ \hline & O \\ \end{array}$$

RN 773147-57-2 CAPLUS

CN Propanamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-59-4 CAPLUS

CN Benzamide, N-[1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl- (9CI) (CA INDEX NAME)

RN 773147-61-8 CAPLUS

CN Propanamide, N-[1-(cyclohexylmethyl)-2-[(3-methoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,2-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-53-8 CMF C27 H35 N3 O2

$$\begin{array}{c|c} O & \\ H = Bu - C - NH \\ \hline N - CH_2 \\ \hline \end{array} \quad \begin{array}{c} O \\ OMe \\ \end{array}$$

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-63-0 CAPLUS

CN Urea, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl-N'-(1-methylethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-21-0 CMF C28 H38 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-64-1 CAPLUS

CN Butanamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-

pyrrolo[2,3-b]pyridin-5-yl]-N,3-dimethyl-, mono(trifluoroacetate) (9CI)
(CA INDEX NAME)

CM 1

CRN 773147-22-1 CMF C29 H39 N3 O2

$$\begin{array}{c|c} O & Me \\ \parallel & \parallel \\ I-Bu-C-N \\ \hline & N \\ \hline & CH_2 \\ \hline & \\ & N \\ \hline \end{array}$$
 OEt

CM 2

CRN 76-05-1 . CMF C2 H F3 O2

RN 773147-65-2 CAPLUS

CN Propanamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1Hpyrrolo[2,3-b]pyridin-5-yl]-N,2-dimethyl-, mono(trifluoroacetate) (9CI)
(CA INDEX NAME)

CM 1

CRN 773147-50-5 CMF C28 H37 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-67-4 CAPLUS

CN Cyclopropanecarboxamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-51-6 CMF C28 H35 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-69-6 CAPLUS

CN Propanamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,2,2-trimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-49-2 CMF C29 H39 N3 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-70-9 CAPLUS

CN Urea, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N',N'-diethyl-N-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-43-6 CMF C29 H40 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-72-1 CAPLUS

CN 3-Isoxazolecarboxamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,5-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-23-2 CMF C29 H34 N4 O3

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-74-3 CAPLUS

CN Benzamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2-fluoro-N-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-55-0 CMF C31 H34 F N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-76-5 CAPLUS

CN Propanamide, N-[1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,2-dimethyl-, mono(trifluoroacetate) (9CI)

CRN 773147-41-4 CMF C27 H36 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-79-8 CAPLUS

CN Propanamide, N-[1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,2,2-trimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-44-7 CMF C28 H38 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-80-1 CAPLUS

CN Butanamide, N-[1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,3-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-45-8 CMF C28 H38 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-81-2 CAPLUS

CN Urea, N-[1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl-N'-(1-methylethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-48-1 CMF C27 H37 N5 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-82-3 CAPLUS

CN Benzenesulfonamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,6-difluoro-N-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-35-6 CMF C29 H31 F2 N3 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-83-4 CAPLUS

CM 1

CRN 773147-36-7 CMF C28 H35 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-84-5 CAPLUS

CN Benzamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,5-difluoro-N-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-37-8 CMF C30 H31 F2 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-85-6 CAPLUS

CN Propanamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,2-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-38-9 CMF C27 H35 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-86-7 CAPLUS

CN Propanamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,2,2-trimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-39-0 CMF C28 H37 N3 O3

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-87-8 CAPLUS

Urea, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl-N'-(1-methylethyl)-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-40-3 CMF C27 H36 N4 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-88-9 CAPLUS

CN Butanamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,3-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-56-1 CMF C28 H37 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-89-0 CAPLUS

CN Benzenesulfonamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,6-difluoro-N-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-26-5

CMF C28 H29 F2 N3 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-90-3 CAPLUS

CN 2-Pyridinecarboxamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-27-6 CMF C28 H30 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-91-4 CAPLUS

CN Butanamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,3-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-28-7 CMF C27 H35 N3 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-92-5 CAPLUS

CN Urea, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl-N'-(1-methylethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-29-8 CMF C26 H34 N4 O2

CM· 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-93-6 CAPLUS

CN 1H-Imidazole-5-sulfonamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,1-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-30-1 CMF C26 H31 N5 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-94-7 CAPLUS

CN 3-Isoxazolecarboxamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,5-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-31-2 CMF C27 H30 N4 O3

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-95-8 CAPLUS

CN Benzamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-4-(dimethylamino)-N-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-32-3 CMF C31 H36 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-96-9 CAPLUS

CN Benzoic acid, 4-[[[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]methylamino]sulfonyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-33-4 CMF C29 H31 N3 O5 S

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-97-0 CAPLUS

CN Benzenesulfonamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl-2-nitro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-34-5 CMF C28 H30 N4 O5 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773148-02-0 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridin-5-amine, 1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 773148-06-4 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridin-5-amine, 1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 773148-07-5 CAPLUS
CN Carbamic acid, [2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-, methyl ester (9CI) (CA INDEX NAME)

RN 773148-08-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-5-amine, 2-[(4-ethoxyphenyl)methyl]-N-methyl-1-[(tetrahydro-2H-pyran-4-yl)methyl]- (9CI) (CA INDEX NAME)

RN 773148-10-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-5-amine, 1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-N-methyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2003:796483 CAPLUS

DOCUMENT NUMBER:

139:292139

TITLE:

Preparation of heteroarylalkanols as glucocorticoid mimetics for treatment of inflammatory, allergic, and

proliferative diseases

INVENTOR(S):

Bekkali, Younes; Betageri, Raj; Gilmore, Thomas A.; Cardozo, Mario G.; Kirrane, Thomas M.; Kuzmich, Daniel; Proudfoot, John Robert; Takahashi, Hidenori; Thomson, David; Wang, Ji; Zindell, Renee; Harcken, Christian Hanke Justus Joachim; Riether, Doris

PATENT ASSIGNEE(S):

Boehringer Ingelheim Pharmaceuticals, Inc., USA

SOURCE:

PCT Int. Appl., 277 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

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	WO 2003082280									WO	2003-	US89		20030321					
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			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC	, EE,	ES,	FI,	GB,	GD	, GE,	GH,	
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	CA 2478156														20030321				
	AU	2003	2183	42		A1								20030321					
		2004						2004						20030321					
	US 6903215							2005	0607										
	EP 1490062					A1		2004	1229		EΡ	2003-	7143	39			20030	321	
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	BR	2003						2005				2003-		•		20030	321		
	CN	1633	296			A 20050629					CN	2003-	8071			20030	321		
	JΡ	2005	5275	55		T 20050915 A 20060331								20030321					
	NZ	5358	89		)	A		2006	0331		ΝZ	2003-	5358	20030321					
		2004				A		2005	0401		IN	2004-	DN23	20040810					
	US	2005	0597	14		A1		2005	0317		US	2004-	9446	15			20040	917	
	NO	2004	0040	31		Α		2004	1019		NO	2004-	4031				20040	924	
	US	2005	2828	81		A1 A1		2005	1222		US	2005-	1853	49			20050	720	
	US	2006	1896	4.7		A1		2006	0824		US	2006-	4104	80			20060	1425	
PRIO	RIT	Y APP	LN.	INFO	. :						US	2002-	3677	58P		P	20020	326	
												2002-				Р	20021	.209	
											US	2003-	4424	04P		Ρ	20030		
											US	2003-	3943	03		Α1	20030	321	
											WO	2003-	US89			W	20030	321	
											US	2004-	9446	15		A1	20040	917	
											US	2005-	1853	49		A1 2005072			
OTHE	OTHER SOURCE(S):						MARPAT 139:29213												
CT																			

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$$R^3$$
 OH  $R^4$   $R^5$   $R^2$   $R^4$   $R^5$   $R^5$   $R^5$   $R^4$   $R^5$   $R$ 

Me

CF3

III

AB Title compds. I and II [wherein R1 = substituted (hetero)aryl; R2 and R3 = independently H or alkyl; or CR2R3 = cycloalkyl; R4 = (un)substituted alkyl, alkenyl, or alkynyl; R5 = substituted heteroaryl; and R6 (when present) = (un)substituted alkyl, alkenyl, alkynyl, carbocyclyl(alkyl), heterocyclyl(alkyl), (hetero)aryl(alkyl), arylhaloalkyl, carbocyclylalkenyl, heterocyclylalkenyl, or (hetero)arylalkenyl; and tautomers, prodrugs, solvates, or salts thereof] were prepared as glucocorticoid mimetics (no data). For example, 1,1,1-trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methylpentan-2-one (multi-step preparation from Et trifluoropyruvate, 1-bromo-2-methylpropene, and 4-fluoroanisole given) was coupled with 2-methyl-5-phenylbenzoxazole using LDA in THF to afford III. I, II, and pharmaceutical compns. containing such compds. are useful for treating inflammatory, allergic, or proliferative disorders mediated by glucocorticoid receptor (GR) function (no data).

IT 609851-47-0P, 1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4methyl-2-[(1H-pyrrolo[2,3-b]pyridin-2-yl)methyl]pentan-2-ol
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(glucocorticoid mimetic; preparation of heteroarylalkanols as GR modulators for treatment of inflammatory, allergic, and proliferative diseases)

RN 609851-47-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-ethanol,  $\alpha$ -[2-(5-fluoro-2-methoxyphenyl)-2-methylpropyl]- $\alpha$ -(trifluoromethyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:356415 CAPLUS

DOCUMENT NUMBER: 138:368759

TITLE: Preparation of 2-acylindoles as tubulin polymerization

inhibitors for the treatment of metastatic tumors Beckers, Thomas; Mahboobi, Siavosh; Pongratz, Herwig;

INVENTOR(S): Beckers, Thomas; Mahboobi, Siavosh; Pongratz, Herwick

Frieser, Markus; Hufsky, Harald; Hockemeyer, Joerg;

Vanhoefer, Udo

PATENT ASSIGNEE(S): Baxter Healthcare SA, Switz.

SOURCE: PCT Int. Appl., 110 pp. CODEN: PIXXD2

CODEN. FIAADA

DOCUMENT TYPE: Patent .

LANGUAGE: German

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.					KIND		DATE			APPL	ICAT	DATE						
						_												
WO 2003037861				A1 20030508				1	WO 2	002-	20021024							
		W:	ΑE,	ΑG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	ΝZ,	OM,	PH,
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	ΤŹ,
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KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
             CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                                     20011026
     DE 10152306
                                 20030724
                                             DE 2001-10152306
                          A1
                                 20040804
                                             EP 2002-802302
                                                                     20021024
     EP 1442015
                          A1
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
                           Т
                                 20050609
                                             JP 2003-540143
                                                                     20021024
     JP 2005516895
                                             DE 2001-10152306
                                                                  Α
                                                                     20011026
PRIORITY APPLN. INFO .:
                                             WO 2002-EP11883
                                                                  W
                                                                     20021024
                         MARPAT 138:368759
OTHER SOURCE(S):
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Title compds. I [R1 = H, alkylcarbonyl, e.g., acetyl, alkyl etc.; R2 = H, AΒ halo, CN, etc.; A = B, C, D = independently for a N or C with provisos; Y = electron pair, H, halo with provisos; X = O, S, NH, etc.] and their pharmaceutically acceptable salts were prepared For example, sodium hydroxide mediated deprotection of N-sulfone II, e.g., prepared from benzoyl chloride and 5-methoxy-1-(phenylsulfonyl)-1H-indole, afforded acylindole In tubulin polymerization inhibition studies, 8-examples of I exhibited IC50 values ranging from 0.53->10  $\mu\text{M}$ , e.g., the IC50 value of acylindole III was 0.53 µM. Compds. I are claimed useful for the treatment of therapy-resistant and metastatic tumors.

ΙT 370580-89-5P 370580-90-8P 370580-91-9P 370580-92-0P 521309-89-7P 521309-90-0P 521309-91-1P 521309-92-2P 521310-04-3P 521310-05-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of acylindoles as tubulin polymerization inhibitors

for the treatment of metastatic tumors)

RN 370580-89-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-(2-methoxybenzoyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 370580-90-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-(3-methoxybenzoyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 370580-91-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 1-(phenylsulfonyl)-2-(3,4,5-trimethoxybenzoyl)-(9CI) (CA INDEX NAME)

RN 370580-92-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-(2,4-dimethoxybenzoyl)-1-(phenylsulfonyl)(9CI) (CA INDEX NAME)

RN 521309-89-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-methoxy-2-(2-methoxybenzoyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 521309-90-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-methoxy-2-(3-methoxybenzoyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 521309-91-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-(2,4-dimethoxybenzoyl)-5-methoxy-1- (phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 521309-92-2 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-methoxy-1-(phenylsulfonyl)-2-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

RN 521310-04-3 CAPLUS

CN Methanone, (5-methoxy-7-oxido-1H-pyrrolo[2,3-b]pyridin-2-yl)(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 521310-05-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 1-acetyl-5-methoxy-2-(3,4,5-trimethoxybenzoyl)-, 7-oxide (9CI) (CA INDEX NAME)

IT 370581-48-9P 370581-49-0P 370581-50-3P

370581-51-4P 521309-94-4P 521309-95-5P

521309-96-6P 521309-97-7P 521309-98-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of acylindoles as tubulin polymerization inhibitors  $% \left( \frac{1}{2}\right) =\frac{1}{2}\left( \frac{1}{2}\right) +\frac{1}{2}\left( \frac{1}{$ 

for the treatment of metastatic tumors)

RN 370581-48-9 CAPLUS

CN Methanone, (2-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-2-yl- (9CI) (CA INDEX NAME)

RN 370581-49-0 CAPLUS

CN Methanone, (3-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-2-yl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & H & O \\ \hline N & N & C \\ \hline \end{array}$$
 OMe

RN 370581-50-3 CAPLUS

CN Methanone, 1H-pyrrolo[2,3-b]pyridin-2-yl(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 370581-51-4 CAPLUS

CN Methanone, (2,4-dimethoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-2-yl- (9CI) (CA INDEX NAME)

RN 521309-94-4 CAPLUS

CN Methanone, (2-methoxyphenyl)(5-methoxy-1H-pyrrolo[2,3-b]pyridin-2-yl)- (9CI) (CA INDEX NAME)

RN 521309-95-5 CAPLUS

CN Methanone, (3-methoxyphenyl)(5-methoxy-1H-pyrrolo[2,3-b]pyridin-2-yl)-(9CI) (CA INDEX NAME)

RN 521309-96-6 CAPLUS

.CN Methanone, (2,4-dimethoxyphenyl) (5-methoxy-1H-pyrrolo[2,3-b]pyridin-2-yl)-(9CI) (CA INDEX NAME)

RN 521309-97-7 CAPLUS

CN Methanone, (5-methoxy-1H-pyrrolo[2,3-b]pyridin-2-yl)(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 521309-98-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 1-acetyl-6-ethoxy-2-(3,4,5-trimethoxybenzoyl)-(9CI) (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:836852 CAPLUS

DOCUMENT NUMBER: 136:112229

TITLE: Synthetic 2-Aroylindole Derivatives as a New Class of

Potent Tubulin-Inhibitory, Antimitotic Agents

AUTHOR(S): Mahboobi, Siavosh; Pongratz, Herwig; Hufsky, Harald;

Hockemeyer, Joerg; Frieser, Markus; Lyssenko, Alexei; Paper, Dietrich H.; Buergermeister, Jutta; Boehmer, Frank-D.; Fiebig, Heinz-Herbert; Burger, Angelika M.;

Baasner, Silke; Beckers, Thomas

CORPORATE SOURCE: Faculty of Chemistry and Pharmacy Institute of

Pharmacy, University of Regensburg, Regensburg,

D-93040, Germany

SOURCE: Journal of Medicinal Chemistry (2001), 44(26),

4535-4553

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:112229

A new class of simple synthetic antimitotic compds. based on 2-aroylindoles was discovered. (5-Methoxy-1H-2-indoly1)-phenylmethanone (I) as well as analogous 3-fluorophenyl- and 3-methoxyphenyl derivs. displayed high cytotoxicity of IC50 = 20 to 75 nM against the human HeLa/KB cervical, SK-OV-3 ovarian, and U373 astrocytoma carcinoma cell lines. The inhibition of proliferation correlated with the arrest in the G2/M phase of the cell cycle. In in vitro assays with tubulin isolated from bovine brain, in general antiproliferative activity correlated with inhibition of tubulin polymerization Thus, the antimitotic activity of 2-aroylindoles is explained by interference with the mitotic spindle apparatus and destabilization of microtubules. In contrast to colchicine, vincristine, nocodazole, or taxol, I did not significantly affect the GTPase activity of  $\beta$ -tubulin. Interestingly, selected compds. inhibited angiogenesis in the chorioallantoic membrane (CAM) assay. xenograft expts., I was highly active after oral administration at 200 mg/kg against the human amelanocytic melanoma MEXF 989 in athymic nude

mice. We conclude, that 2-aroylindoles constitute an interesting new class of antitubulin agents with the potential to be clin. developed for cancer treatment.

IT 370581-48-9P 370581-49-0P 370581-50-3P

370581-51-4P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aroylindoles as tubulin-inhibitory antimitotic agents)

RN 370581-48-9 CAPLUS

CN Methanone, (2-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-2-yl- (9CI) (CA INDEX NAME)

RN 370581-49-0 CAPLUS

CN Methanone, (3-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-2-yl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & H & O \\ N & N & C \end{array}$$
 OMe

RN 370581-50-3 CAPLUS

CN Methanone, 1H-pyrrolo[2,3-b]pyridin-2-yl(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 370581-51-4 CAPLUS

CN Methanone, (2,4-dimethoxyphenyl)-lH-pyrrolo[2,3-b]pyridin-2-yl- (9CI) (CA INDEX NAME)

IT 370580-89-5P 370580-90-8P 370580-91-9P

370580-92-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of aroylindoles as tubulin-inhibitory antimitotic agents)

RN 370580-90-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 2-(3-methoxybenzoyl)-1-(phenylsulfonyl)- (9CI)
(CA INDEX NAME)

RN 370580-91-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-(phenylsulfonyl)-2-(3,4,5-trimethoxybenzoyl)(9CI) (CA INDEX NAME)

RN 370580-92-0 CAPLUS CN 1H-Pyrrolo[2,3-b]pyridine, 2-(2,4-dimethoxybenzoyl)-1-(phenylsulfonyl)-(9CI) (CA INDEX NAME)

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN L4

2001:816437 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 135:352771

(Hetero)indole derivatives, their preparation, TITLE:

pharmaceutical compositions, and their use as

antitumor agents

INVENTOR(S): Beckers, Thomas; Baasner, Silke; Klenner, Thomas;

Mahboobi, Siavosh; Pongratz, Herwig; Frieser, Markus;

Hufsky, Harald; Hockemeyer, Jorg; Fiebig,

Heinz-Herbert; Burger, Angelika; Bohmer, Frank-D.

PATENT ASSIGNEE(S): Asta Medica A.-G., Germany

SOURCE: PCT Int. Appl., 89 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	TENT	NO.			KIND DATE				APF	LICA	DATE								
			09		A2			1108					83						
		GB, MX, ZA,	GE, NO, AM,	HR, NZ, AZ,	HU, PL, MD,	ID, PT, TJ,	IL, RO, TM	IN, RU,	IS, SE,	JF SG	, KG G, SI	, KR,	DK, KZ, TR,	LT, UA,	LU, US,	LV, UZ,	MK, YU,		
	RW:		BE, SE,			DE,	DK,	ES,	FI,	FR	R, GB	, GR,	IE,	IT,	LU,	MC,	NL,		
DE CA EP	1276	0852 2629 677 720	·		A1 A1 A1 A2		2002 2002	0725 1028 0122		DE CA	2001 2001	20000428 20010120 20010427 20010427							
Er		AT,	BE,	CH,	DE,	DK,		FR,	GB,			, LI,	LU,	NL,	SE,	MC,	PT,		
BR HU JP	2001 2003 2004	0104 0048 5010	14 0 92	·	A A2 T	2003 2003 2004	BR 2001-10414 HU 2003-480 JP 2001-579784						20010427 20010427						
AU	EE 200200607 AU 783459 NZ 522246 NO 2002005150						A 20040415 B2 20051027										20010427		
IN BG	IN 2002KN01342 BG 107309 RIORITY APPLN. INFO.:						2005 2003	0311 0930		IN BG DE DE	2002 2002 2000 2001	-KN13 -1073 -1002 -1010	342 309 20852 )2629		A 2 A 2	20021 20021 20000 20010	028 125 428 120		
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OTHER SOURCE(S): GΙ

CASREACT 135:352771; MARPAT 135:352771

AΒ The invention discloses indole and heteroindole derivs. I [R1 = H, C1-6 alkyl, C1-6 alkylcarbonyl, etc.; R2 = H, halo, cyano, etc.; R3-R6 = H, halo, nitro, etc.; A-D = C, N; Y = (un)substituted C6-14 aryl, etc.; <math>X = O, S, NH, CHOH], and tautomers, stereoisomers, mixts. and salts thereof, as well as the production thereof and the use thereof for the treatment of tumors.

IT 370580-89-5P 370580-90-8P 370580-91-9P

370580-92-0P 370581-50-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(indole and heteroindole derivs. for antitumor agents, preparation, and pharmaceutical compns.)

RN 370580-89-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-(2-methoxybenzoyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 370580-90-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-(3-methoxybenzoyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 370580-91-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 1-(phenylsulfonyl)-2-(3,4,5-trimethoxybenzoyl)-(9CI) (CA INDEX NAME)

RN 370580-92-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-(2,4-dimethoxybenzoyl)-1-(phenylsulfonyl)-(9CI) (CA INDEX NAME)

RN 370581-50-3 CAPLUS

CN Methanone, 1H-pyrrolo[2,3-b]pyridin-2-yl(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

IT 370581-48-9P 370581-49-0P 370581-51-4P

370581-56-9P 370581-58-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(indole and heteroindole derivs. for antitumor agents, preparation, and pharmaceutical compns.)

RN 370581-48-9 CAPLUS

CN Methanone, (2-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-2-yl- (9CI) (CA INDEX NAME)

RN 370581-49-0 CAPLUS

CN Methanone, (3-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-2-yl- (9CI) (CA INDEX NAME)

RN 370581-51-4 CAPLUS

CN Methanone, (2,4-dimethoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-2-yl- (9CI) (CA INDEX NAME)

RN 370581-56-9 CAPLUS

Methanone, (7-oxido-1H-pyrrolo[2,3-b]pyridin-2-yl)(3,4,5-trimethoxyphenyl)-CN (9CI) (CA INDEX NAME)

370581-58-1 CAPLUS RN

1H-Pyrrolo[2,3-b]pyridin-6-ol, 1-acetyl-2-(3,4,5-trimethoxybenzoyl)-, CN acetate (ester) (9CI) (CA INDEX NAME)

ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2001:795073 CAPLUS

DOCUMENT NUMBER:

135:331343

TITLE:

Preparation of 1H-indol-2-yl aryl ketones and related

compounds as antitumor agents

INVENTOR(S):

Beckers, Thomas; Baasner, Silke; Klenner, Thomas;

Mahboobi, Siavosh; Pongratz, Herwig; Frieser, Markus;

Hufsky, Harald; Hockemeyer, Joerg; Fiebig,

Heinz-Herbert; Burger, Angelika; Boehmer, Frank-D.

PATENT ASSIGNEE(S):

Asta Medica A.-G., Germany

SOURCE:

Ger. Offen., 34 pp.

DOCUMENT TYPE:

CODEN: GWXXBX

Patent

LANGUAGE:

German 2

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.					KIN	D	DATE			APPL	ICAT	D.	DATE				
						_									_		
DE 10020852					A1		2001	1031		DE 2	-000	2	20000428				
WO 2001082909					A2		2001	1108	1	WO 2	2	20010427					
	WO 2001082909				А3		2002	0314									
	W:	AT,	ΑU,	BG,	BR,	BY,	CA,	CH,	CN,	CO,	CZ,	DE,	DK,	DZ,	EE,	ES,	FI,
		GB,	GE,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KG,	KR,	KZ,	LT,	LU,	LV,	MK,
		MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SE,	SG,	SI,	SK,	TR,	UA,	US,	UZ,	YU,
		ZA,	AM,	AZ,	MD,	ТJ,	TM										
	RW	• дт	BE	CH	CY	DE	DK	ES	<b>FT</b>	FR	CR	GR	TF	TΨ	T.11	MC	NIT.

	P	T, SE,	TR																
US	200209	1124		A1	2002	0711	US	5 2	001-	8431		20010427							
CA	240767	7		A1	2002	20021028 CA 2001-2407677								20010427					
EP	127672	0		A2	2003	0122	E	2 2	001-	9472	47			20010427					
EP	1276720			В1	2006	1220													
	R: A	T, BE,	CH,	DE,	DK, ES,	FR,	GB, G	GR,	IT,	LI,	LU,	NL,	SE	E, MC,	PT,				
	I	E, SI,	LT,	LV,	FI, RO,	MK,	CY,	rr											
BR	200101	0414		Α	2003	0211	BI	R 2	001-	1041	4			20010	427				
- HU	200300	480		A2	2003	0628	н	J 2	003-	480				20010	1427				
JP	200450	1092		$\mathbf{T}$	2004	0115	JI	2 2	001-	5797	84			20010	1427				
EE	200200	607		Α	2004	0415	EI	2 2	002-	607				20010	1427				
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NZ	522246			Α	2006	0127	N2	2 2	001-	5222	46			20010	1427				
US	200315	8216		A1	2003	0821	. 03	3 2	002-	2791	23			20021	.024				
NO	200200	5150		Α	2002	1216	NO	2	002-	5150				20021	.025				
IN	2002KN	01342		Α	2005	0311	ſΙ	1 2	002-	KN13	42			20021	.028				
ZA	200200	9137		Α	2004	0618			002-					20021					
. BG	107309			Α	2003	0930	BO	3 2	002-	1073	09			20021	.125				
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							DI				2629		A	20010					
							US	5 2	001-	8431	39		В1	20010					
							W	2 (	001-	EP47	83		W	20010	427				

OTHER SOURCE(S): MARPAT 135:331343

AB Use of title compds. [I; R1 = H, alkylcarbonyl, alkylaminoalkyl, dialkylaminoalkyl, (hetero)cyclyl; R2 = H, halo, cyano, NO2, (substituted) alkyl, alkoxy, etc.; A-D = N, (substituted) C; R3-R6 = free electron pair if A-D = N, or H, halo, cyano, NO2, alkyl, etc. if A-D = C; Y = (substituted) aryl; X = O, S, NH, (H,OH)], for preparation of drugs for treatment of tumor illness in mammals is claimed. Thus, 5-methoxy-1H-indol-2-yl Ph ketone (general preparation given) showed antitumor activity with IC50 = 96.5 nM in rat glioma cell lines C6.

IT 370581-50-3P, (1H-Pyrrolo[2,3-b]pyridin-2-yl)(3,4,5-

trimethoxyphenyl)methanone 370581-56-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of indolyl aryl ketones and related compds. as antitumor agents)

RN 370581-50-3 CAPLUS

CN Methanone, 1H-pyrrolo[2,3-b]pyridin-2-yl(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 370581-56-9 CAPLUS

CN Methanone, (7-oxido-1H-pyrrolo[2,3-b]pyridin-2-yl)(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

IT 370581-48-9P, (1H-Pyrrolo[2,3-b]pyridin-2-yl)(2-

methoxyphenyl)methanone 370581-49-0P, (1H-Pyrrolo[2,3-b]pyridin-

2-yl)(3-methoxyphenyl)methanone 370581-51-4P,

(1H-Pyrrolo[2,3-b]pyridin-2-yl)(2,4-dimethoxyphenyl)methanone 370581-58-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indolyl aryl ketones and related compds. as antitumor agents)

RN 370581-48-9 CAPLUS

CN Methanone, (2-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-2-yl- (9CI) (CA INDEX NAME)

RN 370581-49-0 CAPLUS

CN Methanone, (3-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-2-yl- (9CI) (CA INDEX NAME)

RN 370581-51-4 CAPLUS

CN Methanone, (2,4-dimethoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-2-yl- (9CI) (CA INDEX NAME)

RN 370581-58-1 CAPLUS

IT 370580-89-5P 370580-90-8P 370580-91-9P

370580-92-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of indolyl aryl ketones and related compds. as antitumor agents)

RN 370580-89-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-(2-methoxybenzoyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 370580-90-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-(3-methoxybenzoyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 370580-91-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 1-(phenylsulfonyl)-2-(3,4,5-trimethoxybenzoyl)-(9CI) (CA INDEX NAME)

RN 370580-92-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-(2,4-dimethoxybenzoyl)-1-(phenylsulfonyl)-

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:198231 CAPLUS

DOCUMENT NUMBER: 126:293275

TITLE: Synthesis of 2-substituted-1H-pyrrolo[2,3-b]pyridines:

preparation of 7-azaolivacine analog and 7-azaindolopyridopyrimidine derivatives

AUTHOR(S): Desarbre, Eric; Coudret, Sandrine; Meheust, Cecile;

Merour, Jean-Yves

CORPORATE SOURCE: Inst. Chimie Organique Analytique, Univ. d'Orleans,

Orleans, F-45067, Fr.

SOURCE: Tetrahedron (1997), 53(10), 3637-3648

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier DOCUMENT TYPE: Journal

LANGUAGE: English

GΙ

2-Substituted-1H-pyrrolo[2,3-b]pyridines I (R = Me, 4-MeC6H4CHOH, 4-ClC6H4CHOH, etc.) have been prepared from 7-azaindole by lithiation followed by addition of various electrophiles. A 7-azaolivacine analog II and a pyrido[3',2':4,5]pyrrolo[1,2-c]pyrido[3,2-d]pyrimidine III have also been prepared

IT 189089-95-0P 189089-96-1P 189089-97-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrrolopyridines, azaolivacine analog, and azaindolopyridopyrimidine derivative)

RN 189089-95-0 CAPLUS

RN 189089-96-1 CAPLUS

CN 3-Pyridinecarboxamide, N,N-diethyl-2-methoxy-4-[[1-(phenylsulfonyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

RN 189089-97-2 CAPLUS

CN 3-Pyridinecarboxamide, N,N-diethyl-4-[1-hydroxy-1-[1-(phenylsulfonyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

IT 189089-84-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of pyrrolopyridines, azaolivacine analog, and azaindolopyridopyrimidine derivative)

RN 189089-84-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-methanol,  $\alpha$ -(4-methoxyphenyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL STNGUIDE SINCE FILE TOTAL COST IN U.S. DOLLARS ENTRY SESSION 37.83 210.14 FULL ESTIMATED COST SINCE FILE TOTAL DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) ENTRY SESSION -5.46 CA SUBSCRIBER PRICE -5.46

FILE 'STNGUIDE' ENTERED AT 11:54:53 ON 05 FEB 2007
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Feb 2, 2007 (20070202/UP).

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(FILE 'HOME' ENTERED AT 11:52:31 ON 05 FEB 2007)

FILE 'REGISTRY' ENTERED AT 11:52:39 ON 05 FEB 2007

L1 STRUCTURE UPLOADED

L2 6 S L1

L3 100 S L1 FULL

FILE 'CAPLUS' ENTERED AT 11:53:29 ON 05 FEB 2007

L4 7 S L3 FULL

FILE 'STNGUIDE' ENTERED AT 11:54:53 ON 05 FEB 2007

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COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 0.30 210.44 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL SESSION ENTRY CA SUBSCRIBER PRICE 0.00 -5.46

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